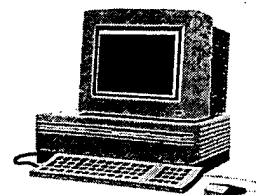


# BioTech-Chem Library

## Search Results

### Feedback Form (Optional)



Scientific & Technical Information Center

The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact *the BioTech-Chem searcher* who conducted the search *or contact*:

Mary Hale, Supervisor, 308-4258  
CM-1 Room 1E01

---

#### *Voluntary Results Feedback Form*

➤ *I am an examiner in Workgroup:* (Example: 1610)

➤ *Relevant prior art found, search results used as follows:*

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

*Types of relevant prior art found:*

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ *Relevant prior art not found:*

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Search results were not useful in determining patentability or understanding the invention.

**Other Comments:**

---

Drop off completed forms at the **Circulation Desk CM-1**, or send to Mary Hale, CM1-1E01 or [mary.hale@uspto.gov](mailto:mary.hale@uspto.gov)



```
=> fil reg; d stat que l3; fil capl; d que nos l22; fil uspatf; d que nos l25
FILE 'REGISTRY' ENTERED AT 10:04:22 ON 13 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 American Chemical Society (ACS)
```

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

```
STRUCTURE FILE UPDATES: 12 DEC 2002 HIGHEST RN 476148-76-2
DICTIONARY FILE UPDATES: 12 DEC 2002 HIGHEST RN 476148-76-2
```

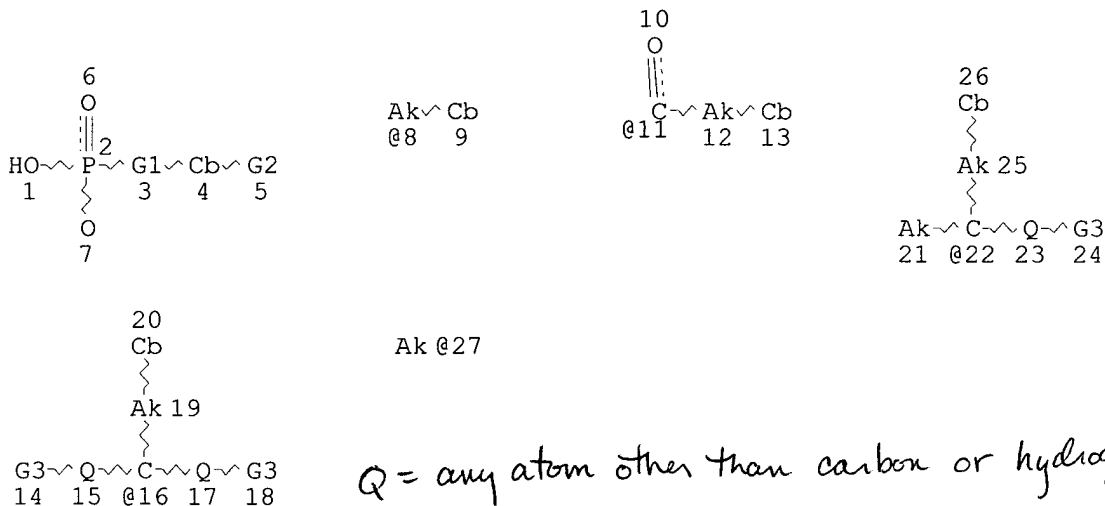
TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

L1	STR
----	-----



```
VAR G1=O/S/N
VAR G2=8/11/16/22
VAR G3=27/H
```

NODE ATTRIBUTES:

CONNECT	IS	E2	RC	AT	8
CONNECT	IS	E2	RC	AT	12
CONNECT	IS	E2	RC	AT	19
CONNECT	IS	E1	RC	AT	21
CONNECT	IS	E2	RC	AT	25
CONNECT	IS	E1	RC	AT	27

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE

L3 286 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 271218 ITERATIONS  
SEARCH TIME: 00.00.11

286 ANSWERS

FILE 'CAPLUS' ENTERED AT 10:04:22 ON 13 DEC 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 13 Dec 2002 VOL 137 ISS 25  
FILE LAST UPDATED: 12 Dec 2002 (20021212/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

L1 STR  
L3 286 SEA FILE=REGISTRY SSS FUL L1  
L4 394 SEA FILE=CAPLUS ABB=ON L3  
L5 70 SEA FILE=REGISTRY ABB=ON ALKALINE PHOSPHATASE?/CN  
L6 51318 SEA FILE=CAPLUS ABB=ON L5 OR ALKALINE PHOSPHATASE#  
L7 3551 SEA FILE=CAPLUS ABB=ON PHOSPHATE# (3A) UPTAK?  
L16 4870 SEA FILE=CAPLUS ABB=ON PHOSPHATE# (2A) ?TRANSPORT?  
L21 3362 SEA FILE=CAPLUS ABB=ON TRANSPORT/CW(L) PHOSPHATE#  
L22 14 SEA FILE=CAPLUS ABB=ON L4 AND (L6 OR L7 OR L16 OR L21)

FILE 'USPATFULL' ENTERED AT 10:04:22 ON 13 DEC 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 12 Dec 2002 (20021212/PD)  
FILE LAST UPDATED: 12 Dec 2002 (20021212/ED)  
HIGHEST GRANTED PATENT NUMBER: US6493878  
HIGHEST APPLICATION PUBLICATION NUMBER: US2002188996  
CA INDEXING IS CURRENT THROUGH 12 Dec 2002 (20021212/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 12 Dec 2002 (20021212/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2002  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<

```
>>> applications.  USPAT2 contains full text of the latest US    <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent          <<<
>>> publications.  The publication number, patent kind code, and   <<<
>>> publication date for all the US publications for an invention  <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.                                                    <<<

>>> USPATFULL and USPAT2 can be accessed and searched together    <<<
>>> through the new cluster USPATAL.  Type FILE USPATAL to        <<<
>>> enter this cluster.                                           <<<
>>>                                                                <<<
>>> Use USPATAL when searching terms such as patent assignees,    <<<
>>> classifications, or claims, that may potentially change from  <<<
>>> the earliest to the latest publication.                        <<<
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
L1          STR
L3          286 SEA FILE=REGISTRY SSS FUL L1
L5          70 SEA FILE=REGISTRY ABB=ON  ALKALINE PHOSPHATASE?/CN
L9          93 SEA FILE=REGISTRY ABB=ON  L3 AND USPATFULL/LC
L10         47 SEA FILE=USPATFULL ABB=ON  L9
L13         1666 SEA FILE=USPATFULL ABB=ON  L5 OR (ALKALINE PHOSPHATASE#)/TI,IT,
          AB,CLM
L14         14 SEA FILE=USPATFULL ABB=ON  PHOSPHATE#(3A)UPTAK?/TI,IT,AB,CLM
L15         28 SEA FILE=USPATFULL ABB=ON  (PHOSPHATE#)/TI,IT,AB,CLM(2A)?TRANSP
          OR?
L24         64 SEA FILE=USPATFULL ABB=ON  TRANSPORT/IT(L)PHOSPHATE#/IT
L25         2 SEA FILE=USPATFULL ABB=ON  L10 AND (L13 OR L14 OR L15 OR L24)
```

=> dup rem 122,125

FILE 'CAPLUS' ENTERED AT 10:04:27 ON 13 DEC 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 10:04:27 ON 13 DEC 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)  
PROCESSING COMPLETED FOR L22  
PROCESSING COMPLETED FOR L25

```
L31          16 DUP REM L22 L25 (0 DUPLICATES REMOVED)
          ANSWERS '1-14' FROM FILE CAPLUS
          ANSWERS '15-16' FROM FILE USPATFULL
```

=> d ibib abs hitstr l31 1-16; fil cao; d que nos l30

```
L31  ANSWER 1 OF 16  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:      2002:436024  CAPLUS
DOCUMENT NUMBER:      137:175103
TITLE:
Rapid spectrophotometric determination of fosfestrol
following on-line hydrolysis by alkaline
phosphatase using flow injection and chasing
zones
AUTHOR(S):            Tzanavaras, Paraskevas D.; Themelis, Demetrius G.;
Karlberg, Bo
CORPORATE SOURCE:     Department of Chemistry, Laboratory of Analytical
Chemistry, Aristotle University of Thessaloniki,
```

SOURCE: Thessaloniki, GR-54006, Greece  
Analytica Chimica Acta (2002), 462(1), 119-124  
CODEN: ACACAM; ISSN: 0003-2670  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A new flow injection (FI) method is reported for the spectrophotometric detn. of fosfestrol (diethyl-stilbestrol (DES) diphosphate) in pharmaceutical formulations. The proposed method is based on the online hydrolysis of the analyte by **alk. phosphatase** (Al-Pase) using a chasing zones FI manifold. The orthophosphate ions, thus, generated are detd. spectrophotometrically ( $\lambda_{\text{max}}=690$  nm) using the Mo blue approach. The chem. and FI variables affecting the enzymic reaction were studied. The proposed method is very precise (sr = 1.1% at 1 .times.  $10^{-4}$  mol L<sup>-1</sup> fosfestrol, n = 12), fast (allowing up to 40 samples h<sup>-1</sup> to be analyzed) and has a detn. range of 2 .times.  $10^{-5}$  to 2 .times.  $10^{-4}$  mol L<sup>-1</sup>, with a satisfactory 3.sigma. detection limit of 5 .times.  $10^{-6}$  mol L<sup>-1</sup>. The method provides accurate detns. of the fosfestrol concn. in a pharmaceutical formulation, giving relative errors, er, of +0.6 and -0.5% compared to the value stated by the supplier (Asta Medica Inc.) and the concn. derived using a method recommended by the United States Pharmacopoeia XXI, resp. In addn., the av. recoveries of known amts. of the analyte ranged between 99.2 and 101.2%.

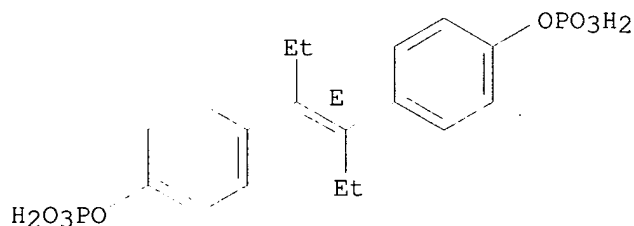
IT 522-40-7, Fosfestrol

RL: ANT (Analyte); ANST (Analytical study)  
(rapid spectrophotometric detn. of fosfestrol following online hydrolysis by **alk. phosphatase** using flow injection and chasing zones)

RN 522-40-7 CAPLUS

CN Phenol, 4,4'-[(1E)-1,2-diethyl-1,2-ethenediyl]bis-, bis(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 9001-78-9, Alkaline phosphatase

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(rapid spectrophotometric detn. of fosfestrol following online hydrolysis by **alk. phosphatase** using flow injection and chasing zones)

RN 9001-78-9 CAPLUS

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:257650 CAPLUS

DOCUMENT NUMBER: 137:241782

TITLE: Differential sensitivity of two adenocarcinoma xenografts to the anti-vascular drugs combretastatin A4 phosphate and 5,6-dimethylxanthenone-4-acetic acid, assessed using MRI and MRS

AUTHOR(S): Beauregard, Daniel A.; Pedley, R. Barbara; Hill, Sally A.; Brindle, Kevin M.  
CORPORATE SOURCE: Department of Biochemistry, University of Cambridge, Cambridge, CB2 1GA, UK  
SOURCE: NMR in Biomedicine (2002), 15(2), 99-105  
CODEN: NMRBEF; ISSN: 0952-3480  
PUBLISHER: John Wiley & Sons Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

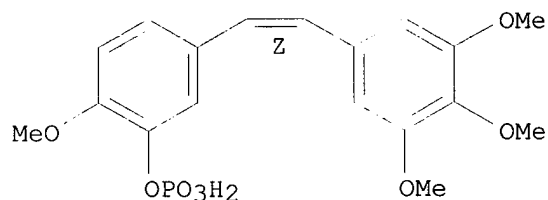
AB The effects of two anti-vascular agents, combretastatin A4 phosphate (CA4P), and 5,6-dimethylxanthenone-4-acetic acid (DMXAA), on the perfusion of two human colon adenocarcinomas implanted in SCID mice, were assessed for up to 3 h using non-invasive magnetic resonance imaging (MRI) and spectroscopy techniques (MRS). MRI measurements of Gd-DTPA inflow showed that treatment with CA4P had little effect on the perfusion of HT29 tumors. Localized <sup>31</sup>P MRS measurements also showed that the drug had no significant effect on tumor cell energy status, as assessed from the ratio of the integrals of the signals from inorg. phosphate (Pi) and nucleoside triphosphates. However, after treatment with DMXAA, perfusion was reduced and the Pi/NTP ratio increased, indicating that the HT29 tumor is susceptible to the action of this drug. The LS174T tumor model was susceptible to both CA4P and DMXAA, using the criteria of changes in GdDTPA inflow and Pi/NTP ratio.

IT 222030-63-9, Combretastatin A4 phosphate  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sensitivity of adenocarcinoma xenografts to combretastatin A4 phosphate and DMXAA, assessed by MRI)

RN 222030-63-9 CAPLUS

CN Phenol, 2-methoxy-5-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:712132 CAPLUS

DOCUMENT NUMBER: 136:79365

TITLE: The susceptibility of tumors to the antivasular drug combretastatin A4 phosphate correlates with vascular permeability

AUTHOR(S): Beauregard, Daniel A.; Hill, Sally A.; Chaplin, Dai J.; Brindle, Kevin M.

CORPORATE SOURCE: Department of Biochemistry, University of Cambridge, Cambridge, CB2 1GA, UK

SOURCE: Cancer Research (2001), 61(18), 6811-6815  
CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

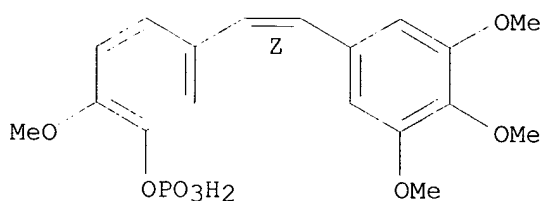
LANGUAGE: English

AB The acute effects of the antivasular drug, combretastatin A4 phosphate, on tumor energy status and perfusion were assessed using magnetic

resonance imaging (MRI) and spectroscopy. Localized  $^{31}\text{P}$  magnetic resonance spectroscopy showed that LoVo and RIF-1 tumors responded well to drug treatment, with significant increases in the  $\text{Pi/nucleoside}$  triphosphate ratio within 3 h, whereas SaS, SaF, and HT29 tumors did not respond to the same extent. This variable response was also seen in MRI expts. in which tumor perfusion was assessed by monitoring the kinetics of inflow of the contrast agent, gadolinium diethylenetriaminepentaacetate. These data were analyzed to give the initial rate and time const. for inflow of contrast agent and the integral under the inflow curve. The differential susceptibility of the tumors to combretastatin A4 phosphate showed a pos. correlation with prior MRI measurements of tumor vascular permeability, which was detd. by measuring the inflow of a macromol. contrast agent, BSA-gadolinium diethylenetriaminepentaacetate.

IT 222030-63-9, Combretastatin A4 phosphate  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(susceptibility of tumors to antivasular drug combretastatin A4 phosphate correlates with vascular permeability)  
RN 222030-63-9 CAPLUS  
CN Phenol, 2-methoxy-5-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrogen phosphate (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:659027 CAPLUS

DOCUMENT NUMBER: 136:295

TITLE: Mechanisms associated with tumor vascular shut-down induced by combretastatin A-4 phosphate: intravital microscopy and measurement of vascular permeability  
AUTHOR(S): Tozer, Gillian M.; Prise, Vivien E.; Wilson, John; Cemazar, Maja; Shan, Siqing; Dewhirst, Mark W.; Barber, Paul R.; Vojnovic, Borivoj; Chaplin, David J.  
CORPORATE SOURCE: Gray Cancer Institute, Mount Vernon Hospital, Northwood, HA6 2JR, UK

SOURCE: Cancer Research (2001), 61(17), 6413-6422

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The tumor vascular effects of the tubulin destabilizing agent disodium combretastatin A-4 3-O-phosphate (CA-4-P) were investigated in the rat P22 tumor growing in a dorsal skin flap window chamber implanted into BD9 rats. CA-4-P is in clin. trial as a tumor vascular targeting agent. In animal tumors, it can cause the shut-down of blood flow, leading to extensive tumor cell necrosis. However, the mechanisms leading to vascular shut-down are still unknown. Tumor vascular effects were visualized and monitored online before and after the administration of two doses of CA-4-P (30 and 100 mg/kg) using intravital microscopy. The combined effect of CA-4-P and systemic nitric oxide synthase (NOS) inhibition using N.omega.-nitro-L-arginine (L-NNA) was also assessed,



because this combination has been shown previously to have a potentiating effect. The early effect of CA-4-P on tumor vascular permeability to albumin was detd. to assess whether this could be involved in the mechanism of action of the drug. Tumor blood flow redn. was extremely rapid after CA-4-P treatment, with red cell velocity decreasing throughout the observation period and dropping to <5% of the starting value by 1 h. NOS inhibition alone caused a 50% decrease in red cell velocity, and the combined treatment of CA-4-P and NOS inhibition was approx. additive. The mechanism of blood flow redn. was very different for NOS inhibition and CA-4-P. That of NOS inhibition could be explained by a decrease in vessel diam., which was most profound on the arteriolar side of the tumor circulation. In contrast, the effects of CA-4-P resembled an acute inflammatory reaction resulting in a visible loss of a large proportion of the smallest blood vessels. There was some return of visible vasculature at 1 h after treatment, but the blood in these vessels was static or nearly so, and many of the vessels were distended. The hematocrit within larger draining tumor venules tended to increase at early times after CA-4-P, suggesting fluid loss from the blood. The stacking of red cells to form rouleaux was also a common feature, coincident with slowing of blood flow; and these two factors would lead to an increase in viscous resistance to blood flow. Tumor vascular permeability to albumin was increased to .apprx.160% of control values at 1 and 10 min after treatment. This could lead to an early decrease in tumor blood flow via an imbalance between intravascular and tissue pressures and/or an increase in blood viscosity as a result of increased hematocrit. These results suggest a mechanism of action of CA-4-P in vivo. Combination of CA-4-P with a NOS inhibitor has an additive effect, which it may be possible to exploit therapeutically.

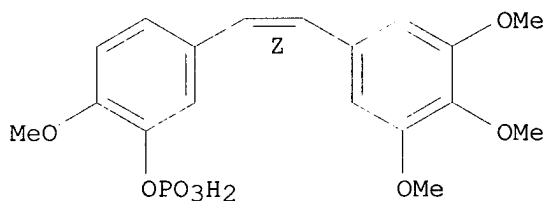
IT 168555-66-6

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mechanisms assocd. with tumor vascular shut-down induced by combretastatin A-4 phosphate)

RN 168555-66-6 CAPLUS

CN Phenol, 2-methoxy-5-[(1Z)-2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrogen phosphate, disodium salt (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 Na

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:513704 CAPLUS

DOCUMENT NUMBER: 133:129882

TITLE: Aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/  
**phosphate co-transport**

INVENTOR(S): Pearce, Brian E.

PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA

Searched by Barb O'Bryen, STIC 308-4291

SOURCE: PCT Int. Appl., 73 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043402	A2	20000727	WO 2000-US1681	20000121
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1175425	A2	20020130	EP 2000-909960	20000121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 6355823	B1	20020312	US 2000-646654	20000920
US 2002133036	A1	20020919	US 2002-40708	20020107
PRIORITY APPLN. INFO.:			US 1999-126417P P	19990121
			WO 2000-US1681 W	20000121
			US 2000-646654 A1	20000920

OTHER SOURCE(S): MARPAT 133:129882

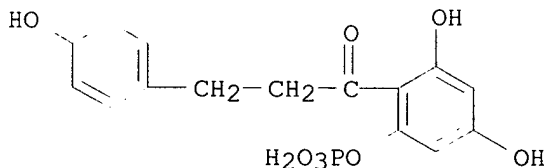
AB Hydrophilic aryl phosphate, thiophosphate, and aminophosphate intestinal apical membrane sodium-mediated **phosphate co-transport** inhibitors are disclosed. The compds. can be administered orally, where they act to inhibit sodium-dependent **phosphate uptake** in the intestines, or internally, where they interact with the phosphate control functions of the kidneys and parathyroid. They are therefore useful for inhibiting sodium-mediated **phosphate uptake**, reducing serum PTH, calcium, calcitriol, and phosphate, and treating renal disease in an animal, including a human. Compds. of the invention include e.g. 2'-phosphophloretin (prepn. described).

IT **286382-93-2P 286382-95-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate co-transport**, and therapeutic use)

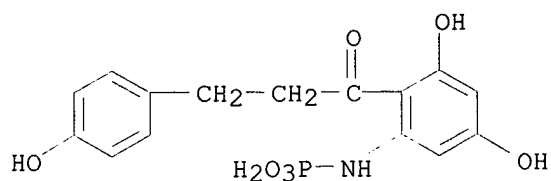
RN 286382-93-2 CAPLUS

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-(9CI) (CA INDEX NAME)



RN 286382-95-4 CAPLUS

CN Phosphoramidic acid, [3,5-dihydroxy-2-[3-(4-hydroxyphenyl)-1-oxopropyl]phenyl]- (9CI) (CA INDEX NAME)



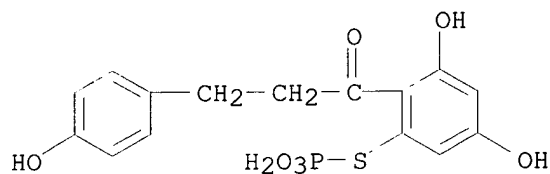
IT 286382-94-3 286382-96-5 286382-97-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

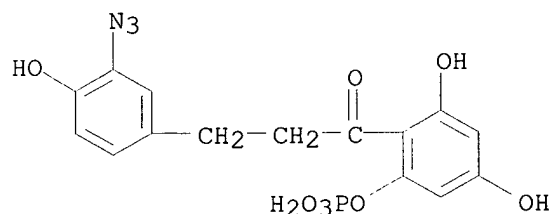
RN 286382-94-3 CAPLUS

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonothio)phenyl]-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



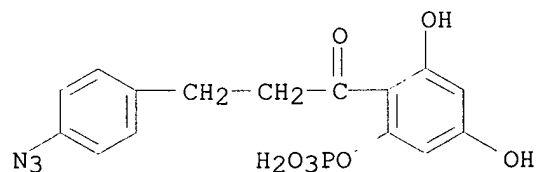
RN 286382-96-5 CAPLUS

CN 1-Propanone, 3-(3-azido-4-hydroxyphenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 286382-97-6 CAPLUS

CN 1-Propanone, 3-(4-azidophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



IT 9001-78-9, **Alkaline phosphatase**

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(aryl phosphate, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

RN 9001-78-9 CAPLUS

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

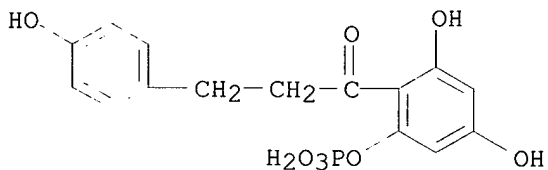
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 286383-01-5P 286383-07-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(aryl phosphate, thiophosphate, and aminophosphate inhibitors of  
intestinal apical membrane sodium/**phosphate** co-  
**transport**, and therapeutic use)

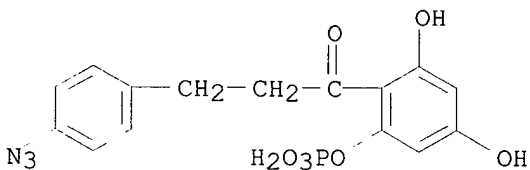
RN 286383-01-5 CAPLUS

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-  
, labeled with tritium (9CI) (CA INDEX NAME)



RN 286383-07-1 CAPLUS

CN 1-Propanone, 3-(4-azidophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-,  
labeled with tritium (9CI) (CA INDEX NAME)

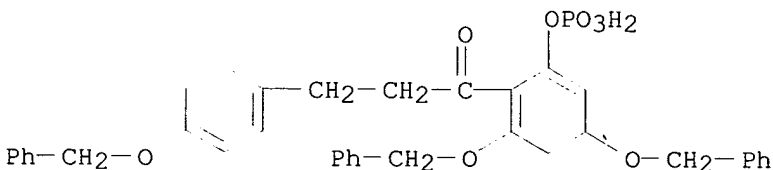


IT 286383-00-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and reaction; aryl phosphate, thiophosphate, and aminophosphate  
inhibitors of intestinal apical membrane sodium/**phosphate** co-  
**transport**, and therapeutic use)

RN 286383-00-4 CAPLUS

CN 1-Propanone, 1-[2,4-bis(phenylmethoxy)-6-(phosphonooxy)phenyl]-3-[4-  
(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

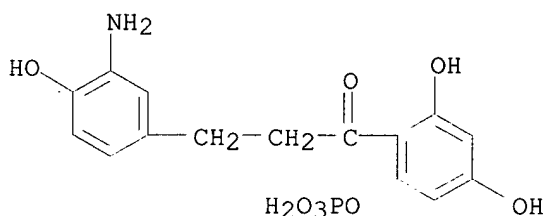


IT 286383-05-9 286383-06-0

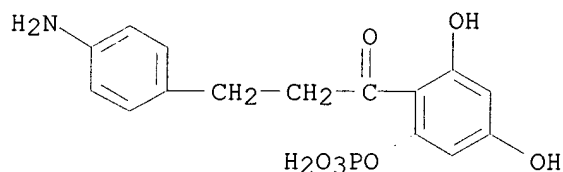
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction; aryl phosphate, thiophosphate, and aminophosphate inhibitors  
of intestinal apical membrane sodium/**phosphate** co-  
**transport**, and therapeutic use)

RN 286383-05-9 CAPLUS

CN 1-Propanone, 3-(3-amino-4-hydroxyphenyl)-1-[2,4-dihydroxy-6-  
(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 286383-06-0 CAPLUS  
CN 1-Propanone, 3-(4-aminophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-  
(9CI) (CA INDEX NAME)



L31 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:686375 CAPLUS  
DOCUMENT NUMBER: 132:247772  
TITLE: Screening hydrolysis over two-phases  
AUTHOR(S): Cotenescu, M.-G.; La Clair, J. J.  
CORPORATE SOURCE: Department of Molecular Biology, The Scripps Research  
Institute, La Jolla, CA, USA  
SOURCE: Journal of Biotechnology (1999), 76(1), 33-41  
CODEN: JBITD4; ISSN: 0168-1656  
PUBLISHER: Elsevier Science Ireland Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A new assay is described that monitors hydrolysis with the concurrent transfer of a solvatochromic dye across an oil-water barrier. Through the appropriate design, this transfer is accompanied by a 106 gain in fluorescence. This response can be used to effectively screen hydrolytic activity at high-throughput. Using this method, microunits of **alk . phosphatase**, glucosidases, as well as several common proteases can be visually detected within an hour through concn. over a 200:1 volumetric ratio of aq. to org. phases. Development of a water-solubilizing protecting group extends this methodol. to screen a wide range of processes that undergo cleavage of a covalent bond.

IT **9001-78-9, Alkaline phosphatase**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(screening hydrolysis over two-phases)

RN 9001-78-9 CAPLUS  
CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **262856-74-6**  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(screening hydrolysis over two-phases)

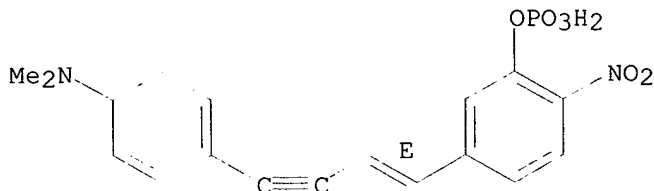
RN 262856-74-6 CAPLUS  
CN Phenol, 5-[(1E)-4-[4-(dimethylamino)phenyl]-1-buten-3-ynyl]-2-nitro-, dihydrogen phosphate (ester), compd. with N,N-diethylethanamine (1:2)  
(9CI) (CA INDEX NAME)

CM 1

CRN 262856-73-5

CMF C18 H17 N2 O6 P

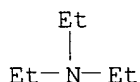
Double bond geometry as shown.



CM 2

CRN 121-44-8

CMF C6 H15 N



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:108637 CAPLUS

DOCUMENT NUMBER: 124:260682

TITLE: Synthesis of water-soluble prodrugs of the cytotoxic agent combretastatin A4

AUTHOR(S): Bedford, Simon B.; Quarterman, Charmaine P.; Rathbone, Daniel L.; Slack, John A.; Griffin, Roger J.; Stevens, Malcolm F. G.

CORPORATE SOURCE: Aston Molecules Ltd., Birmingham, B7 4EJ, UK

SOURCE: Bioorganic &amp; Medicinal Chemistry Letters (1996), 6(2), 157-60

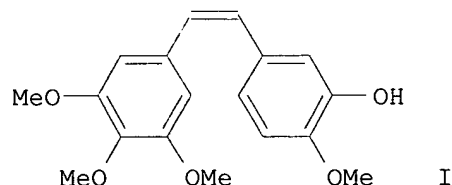
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Water-sol. phosphate and glycine carbamate prodrugs of the cytotoxic agent Combretastatin A4 (I) have been prepd. The phosphate prodrug was degraded slowly in plasma at 37.degree.C. The degrdn. was accelerated by the addn. of alk. phosphatase.

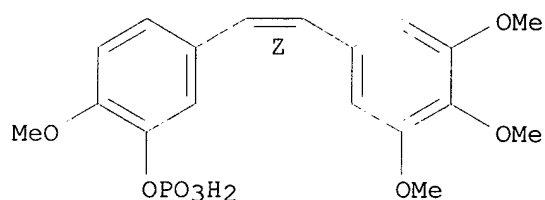
## IT 174909-40-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(synthesis of water-sol. prodrugs of the cytotoxic agent combretastatin A4)

RN 174909-40-1 CAPLUS

CN Phenol, 2-methoxy-5-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrogen phosphate, diammonium salt, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 NH3

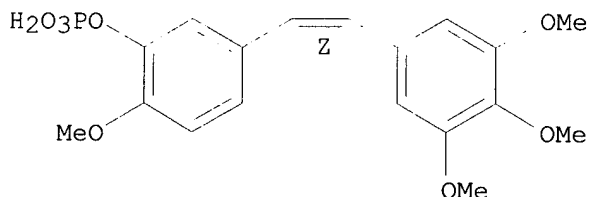
## IT 145545-17-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of water-sol. prodrugs of the cytotoxic agent combretastatin A4)

RN 145545-17-1 CAPLUS

CN Phenol, 2-methoxy-5-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, dihydrogen phosphate, dipotassium salt, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 K

L31 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:206080 CAPLUS

DOCUMENT NUMBER: 118:206080

TITLE: Alkyl- and aryl-substituted salicyl phosphates as  
detection reagents in enzyme-amplified fluorescence  
DNA hybridization assays on solid support

AUTHOR(S): Evangelista, Ramon A.; Wong, Hector E.; Templeton, Eva  
F. Gudgin; Granger, Thierry; Allore, Brian; Pollak,  
Alfred

CORPORATE SOURCE: Kronem Syst. Inc., Mississauga, ON, L4V 1P1, Can.

SOURCE: Analytical Biochemistry (1992), 203(2), 218-26

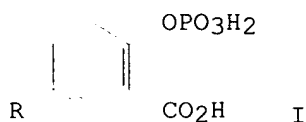
CODEN: ANBCA2; ISSN: 0003-2697

DOCUMENT TYPE: Journal

LANGUAGE: English

Searched by Barb O'Bryen, STIC 308-4291

GI



AB Nine salicyl phosphate esters with hydrophobic substituents (I, R = Ph, 2,4-difluorophenyl, tert-octyl, cumyl, 4-tert-butylphenyl, 1-adamantyl, n-dodecyl, 1,1-diphenylethyl, and 5-trityl) were synthesized and found to be good substrates for calf intestinal **alk. phosphatase**. The enzymic hydrolysis produced the corresponding salicylates, which were strongly fluorescent when excited by UV light around 300 nm with max. emission at 420-435 nm. The salicylates were less sol. and/or more adhesive than the nonfluorescent salicyl phosphate substrates, resulting in localization of fluorescence signal, which is a requirement for membrane-based assays. The salicyl phosphates bearing 8-14 carbon substituents were suitable detection reagents for dot-blot DNA hybridization assays on nylon membrane using a biotinylated probe, allowing the detection of 125 pg of target pBR322 plasmid DNA using a simple app. consisting of a transilluminator, a camera, and a 455-nm cutoff optical filter.

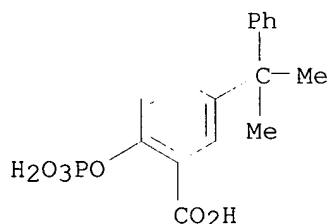
IT **142556-88-5P**

RL: PREP (Preparation)

(prepn. of, as detection reagent in enzyme-amplified fluorescence DNA hybridization assay on solid support)

RN 142556-88-5 CAPLUS

CN Benzoic acid, 5-(1-methyl-1-phenylethyl)-2-(phosphonoxy)- (9CI) (CA INDEX NAME)

IT **9001-78-9, Alkaline phosphatase**

RL: USES (Uses)

(salicylphosphates as substrates for, in enzyme-amplified fluorescence DNA hybridization assays on solid support)

RN 9001-78-9 CAPLUS

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L31 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1985:179234 CAPLUS

DOCUMENT NUMBER: 102:179234

TITLE: Application of capillary gas chromatographic-selected-ion recording mass spectrometric technique to the analysis of diethylstilbestrol and its phosphorylated precursors in plasma and tissues

AUTHOR(S): Abramson, Fred P.; Lutz, Michael P.

CORPORATE SOURCE: Sch. Med., George Washington Univ., Washington, DC, 20037, USA



SOURCE: Journal of Chromatography (1985), 339(1), 87-95

CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A method for the anal. of diethylstilbestrol (DES) [56-53-1] which is suitable for pharmacokinetics studies was developed using capillary gas chromatog. (GC) sepn. and detection with selected-ion mass spectrometry (MS). This technique was applied to a variety of samples including human plasma samples and exts. of animal tissues including prostate and liver. To investigate the pharmacokinetics of stilphostrol [522-40-7] the GC-MS method was modified in 2 ways. One modification involves a dual assay for DES; the 1st a direct assay, and the 2nd after hydrolysis of a sample with **alk. phosphatase** [9001-78-9]. The difference in these values is the amt. of phosphorylated DES present. The other modification separates stilphostrol and DES using a reversed-phase, ion-paired HPLC method followed by **alk. phosphatase** hydrolysis followed by the GC-MS method. The details of these 3 methods are described and some representative data are shown.

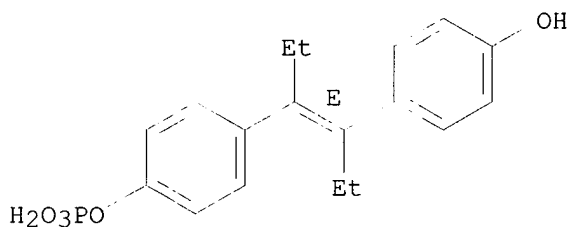
IT 47341-71-9

RL: BIOL (Biological study)  
(as diethylstilbestrol precursor metabolite)

RN 47341-71-9 CAPLUS

CN Phenol, 4-[(1E)-1-ethyl-2-(4-hydroxyphenyl)-1-butenyl]-, 1-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Double bond geometry as shown.



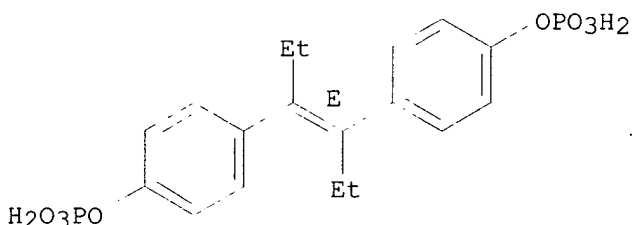
IT 522-40-7

RL: BIOL (Biological study)  
(detn. of and pharmacol. of, in blood plasma and tissues of human and lab. animals, **alk. phosphatase** in assay for)

RN 522-40-7 CAPLUS

CN Phenol, 4,4'-[(1E)-1,2-diethyl-1,2-ethenediyl]bis-, bis(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 9001-78-9

RL: BIOL (Biological study)  
(in stilphostrol and diethylstilbestrol detn. in blood plasma and tissues of human and lab. animals)

RN 9001-78-9 CAPLUS

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L31 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:435052 CAPLUS

DOCUMENT NUMBER: 99:35052

TITLE: Phosphate esters of vitamins D2 and D3, new substrates for **alkaline phosphatase** from calf intestine

AUTHOR(S): Rapi, G.; Ginanneschi, M.; Chelli, M.; Pinzauti, G.; Vanni, P.

CORPORATE SOURCE: Ist. Chim. Org., Univ. Studi Firenze, Florence, 50134, Italy

SOURCE: Comptes Rendus des Seances de la Societe de Biologie et de Ses Filiales (1983), 177(1), 8-13

CODEN: CRSBAW; ISSN: 0037-9026

DOCUMENT TYPE: Journal

LANGUAGE: French

AB Phosphate esters of vitamins D2 and D3 (D2-O-P and D3-O-P) are hydrolyzed by the alk. phosphatase from calf intestine. The optimum pH and Km values are in agreement with those reported for other substrates for intestinal **alk. phosphatase**. No activation effect was noted at low concns. of D2-O-P and D3-O-P (10<sup>-5</sup>-10<sup>-4</sup>M) in contrast with that stated in earlier works. At higher concns. (1-4 mM) of the phosphate esters, an inhibitory effect on the hydrolysis of p-nitrophenylphosphate was obsd.

IT 9001-78-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, of intestine with vitamin D2 and D3 phosphate esters)

RN 9001-78-9 CAPLUS

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

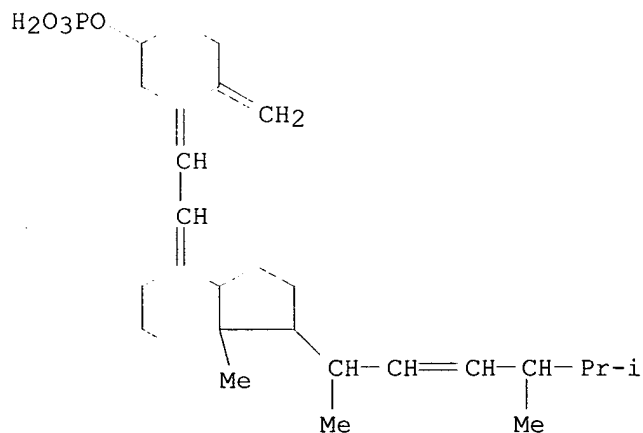
IT 863-53-6 86349-60-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with **alk. phosphatase** of intestine, kinetics of)

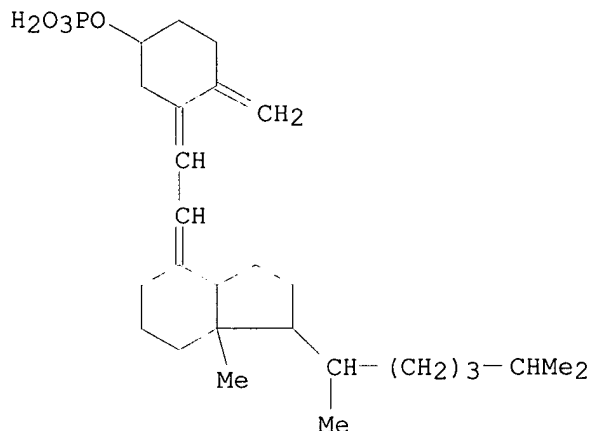
RN 863-53-6 CAPLUS

CN 9,10-Secoergosta-5,7,10(19),22-tetraen-3-ol, dihydrogen phosphate, (3.beta.,5Z,7E,22E)- (9CI) (CA INDEX NAME)



RN 86349-60-2 CAPLUS

CN 9,10-Secocholesta-5,7,10(19)-trien-3-ol, dihydrogen phosphate, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)



L31 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:72546 CAPLUS

DOCUMENT NUMBER: 98:72546

TITLE: Steroid phosphates and polyphosphates. Part III. Synthesis and structure of 7-dehydrocholesterol and vitamin D 3-phosphoric esters and their salts and dimethyl phosphates

AUTHOR(S): Rapi, Gianfranco; Ginanneschi, Mauro; Chelli, Mario; Selva, Antonio; Traldi, Pietro; Vanni, Paolo; Pinzauti, Giancarlo

CORPORATE SOURCE: Cattedra Chim. Propedeut. Biochim., Fac. Med. Chir., Florence, I-50121, Italy

SOURCE: Journal of Chemical Research, Synopses (1982), (9), 236-7

CODEN: JRPSDC; ISSN: 0308-2342

DOCUMENT TYPE: Journal

LANGUAGE: English

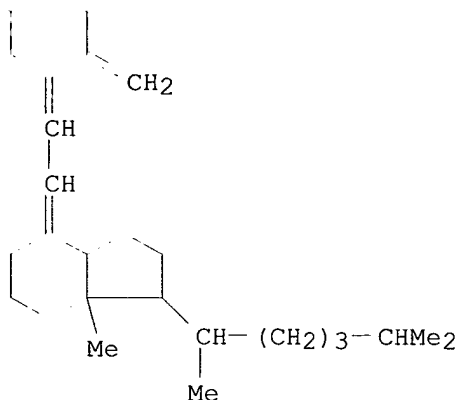
AB The syntheses are given in detail of the phosphorodichloridate, dihydrogen phosphate, disodium phosphate, barium phosphate, and di-Me phosphate derivs. of 7-dehydrocholesterol, vitamin D<sub>2</sub>, and vitamin D<sub>3</sub>. Monomeric structures were assigned to the compds. in accordance with their elemental anal. and their IR, UV, <sup>1</sup>H and <sup>31</sup>P NMR, and mass spectra. The phosphate salts of vitamins D<sub>2</sub> and D<sub>3</sub> are good substrates for intestinal **alk . phosphatase.**

IT **84284-83-3P 84284-84-4P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and structure of)

RN 84284-83-3 CAPLUS

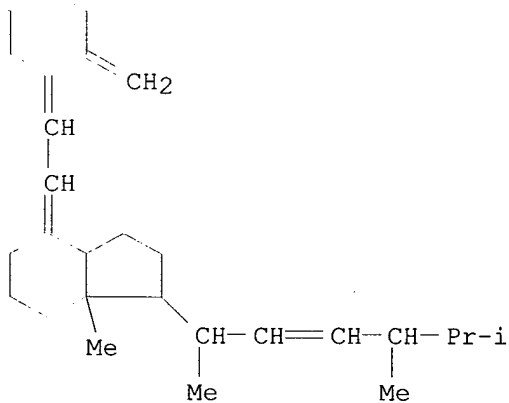
CN 9,10-Secocholesta-5,7,10(19)-trien-3-ol, dihydrogen phosphate, barium salt, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

H<sub>2</sub>O<sub>3</sub>PO

● Ba

RN 84284-84-4 CAPLUS

CN 9,10-Secoergosta-5,7,10(19),22-tetraen-3-ol, dihydrogen phosphate, barium salt, (3.beta.,5Z,7E,22E)- (9CI) (CA INDEX NAME)

H<sub>2</sub>O<sub>3</sub>PO

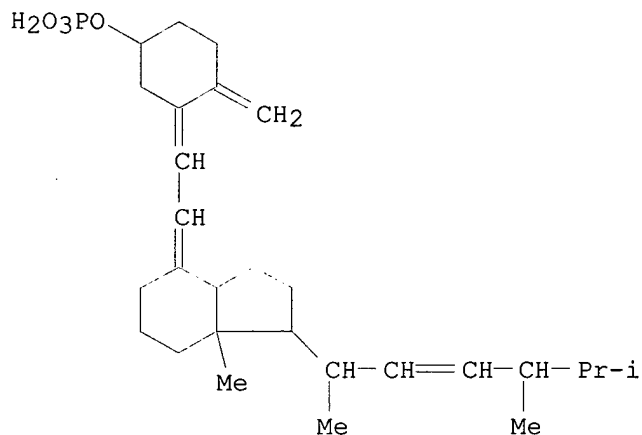
● Ba

IT 1061-48-9P 84284-82-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as substrate for intestinal **alk. phosphatase**)

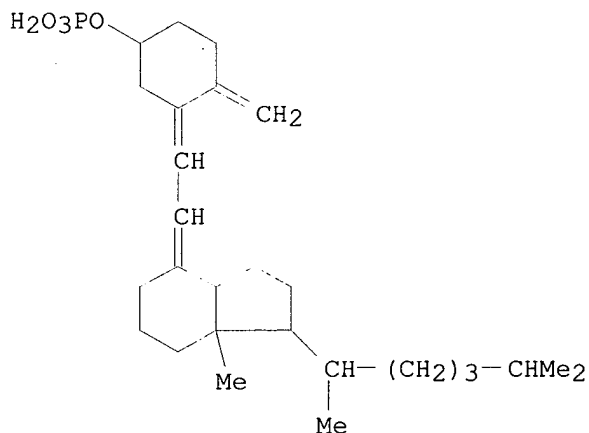
RN 1061-48-9 CAPLUS

CN 9,10-Secoergosta-5,7,10(19),22-tetraen-3-ol, dihydrogen phosphate, disodium salt, (3.beta.,5Z,7E,22E)- (9CI) (CA INDEX NAME)



● 2 Na

RN 84284-82-2 CAPLUS  
 CN 9,10-Secosteroid-5,7,10(19)-trien-3-ol, dihydrogen phosphate, monosodium salt, (3.β.,5Z,7E)- (9CI) (CA INDEX NAME)



● Na

IT 9001-78-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (vitamin D2 and D3 hydrogen sodium phosphate salts as substrates for)  
 RN 9001-78-9 CAPLUS  
 CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L31 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1972:470535 CAPLUS

DOCUMENT NUMBER: 77:70535

TITLE: Effects of estrogen on phosphatase activity in the ventral prostate of intact, castrated, and

Searched by Barb O'Bryen, STIC 308-4291

AUTHOR(S): androgen-treated castrated, adult rats  
Andersson, Maud; Muntzing, Jonas  
CORPORATE SOURCE: Res. Lab., AB Leo, Helsingborg, Swed.  
SOURCE: Acta Pharmacol. Toxicol. (1971), 30(3-4), 193-202  
CODEN: APTOA6  
DOCUMENT TYPE: Journal  
LANGUAGE: English

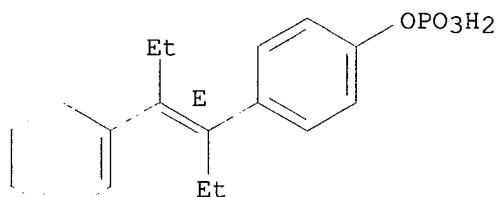
AB After 20 days, the wt. of the ventral prostate in rats was decreased to 85% by poly(estradiol phosphate) (SEP) [28014-46-2], to 20% by estradiol 3-[N,N-bis(2-chloroethyl)]carbamate 17-(dihydrogen phosphate) (E) [4891-15-0] and to 10% by castration and administration of poly(estradiol phosphate) (PEP), poly(diethylstilbestrol phosphate) (PSP) [26374-77-6] estradiol 17-undecylate (EV) [3571-53-7], or diethylstilbestrol diphosphate (H) [522-40-7]. Castration and treatment with the above estrogens decreased the prostate wt. to 10%. In castrated rats, testosterone 3-(p-hexyloxyphenyl)propionate (A) [4838-37-3] alone or combined with PEP, SEP, EV, or E restored prostate wt. **Alkaline phosphatase** activity was decreased to 55% by castration, increased to 135% by EU, restored to normal by PEP, PSP, EU, and E and increased to 175-350% by A + PEP, PSP, EU, or H. Acid phosphatase activity was decreased to 45% by castration, to 55% by H and to 70% by PSP administration. PEP, PSP, and E partly reversed the decrease brought about by castration. Given alone, A restored the activity and increased it to 115-155% after A+PEP, PSP, H or E.

IT **9001-78-9**  
RL: BIOL (Biological study)  
(of prostate gland, estrogens and testosterone effect on)  
RN 9001-78-9 CAPLUS  
CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **522-40-7 26374-77-6**  
RL: BIOL (Biological study)  
(phosphatases of prostate gland in response to)  
RN 522-40-7 CAPLUS  
CN Phenol, 4,4'-[1-(1E)-1,2-diethyl-1,2-ethenediyl]bis-, bis(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

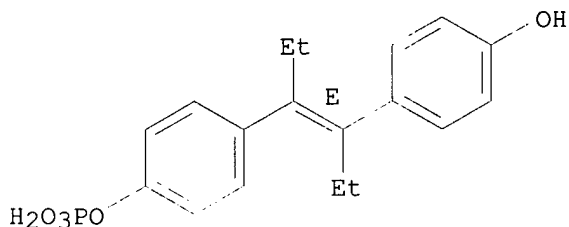


RN 26374-77-6 CAPLUS  
CN Phenol, 4-[1-ethyl-2-(4-hydroxyphenyl)-1-butenyl]-, 1-(dihydrogen phosphate), (E), homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 47341-71-9  
CMF C18 H21 O5 P

Double bond geometry as shown.



L31 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1967:408260 CAPLUS

DOCUMENT NUMBER: 67:8260

TITLE: Effects of acid and **alkaline phosphatase** on estrogen phosphates

AUTHOR(S): Comite, F.; Scotto, Peter; Scardi, Vincenzo

CORPORATE SOURCE: Univ. Naples, Naples, Italy

SOURCE: Boll. - Soc. Ital. Biol. Sper. (1966), 42(24), 1963-4

CODEN: BSIBAC

DOCUMENT TYPE: Journal

LANGUAGE: Italian

AB The action of acid phosphatase and **alk. phosphatase** on estradiol 3-phosphate, estradiol 17-phosphate, estradiol 3,17-diphosphate, and diethylstilbestrol diphosphate (I) was studied in vitro. Estradiol 17-phosphate did not serve as a substrate for either enzyme, while I acted as a substrate for both enzymes, although the activity decreased with increasing concns. of I. Estradiol 3-phosphate and estradiol 3,17-diphosphate were equally good substrates for **alk. phosphatase**, but not for acid phosphatase since the presence of a free hydroxyl group at position 17 interferes with the activity of acid phosphatase. The results are discussed with respect to the behavior of estrogen phosphates in expts. using isolated perfused rat hearts.

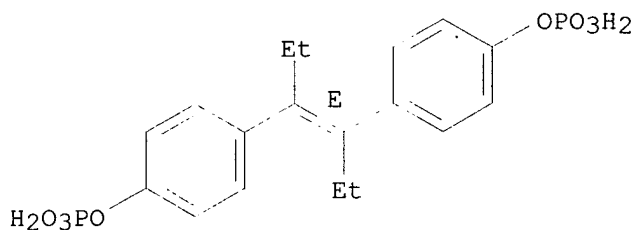
IT 522-40-7

RL: BIOL (Biological study)  
(as phosphatase substrate)

RN 522-40-7 CAPLUS

CN Phenol, 4,4'-[(1E)-1,2-diethyl-1,2-ethenediyl]bis-, bis(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 9001-78-9, **Alkaline phosphatase**

(estrogen phosphate hydrolysis by)

RN 9001-78-9 CAPLUS

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

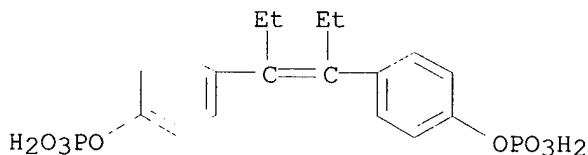
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L31 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1964:69710 CAPLUS

DOCUMENT NUMBER: 60:69710

ORIGINAL REFERENCE NO.: 60:12324b-d  
TITLE: Modifications in the adrenal cortex of intact adult male rats under the influence of natural and synthetic estrogens and related changes in liver and testicles. (Contribution to morphology and functional diagnosis)  
AUTHOR(S): Piroth, M.  
SOURCE: Ann. Univ. Saraviensis, Med. (1963), 10(1), 110 pp.  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB Histochem. changes produced by estradiol benzoate or by diethylstilbestrol diphosphate or dipropionate injected intramuscularly during a 10-week period were studied in adult male rats. There was a marked increase in adrenal, liver, and testicle wts., whereas body wt. dropped markedly. Adrenals were characterized by hypertrophy and hyperplasia of cortical tissue and a decrease in adrenal sudanophilic lipid, cholesterol, ascorbic acid, and **alk. phosphatase** activity. There was an increase in HIO<sub>4</sub>-Schiff-pos. granules and in optically active vacuoles. In hepatic cells, the nucleus increased in relative size and a pronounced storage of glycogen was observed in peripheral lobes of the liver. Generally the stilbestrol compds. exerted a more pronounced and a longer-lasting effect than that observed with estradiol derivs. Castration was without effect on these changes. Some anti-toxic activities were observed in animals treated with L-cysteine. Significance of estrogen toxicity and therapeutic applications are discussed. 398 references.  
IT **13425-53-1**, 4,4'-Stilbenediol, .alpha.,.alpha.'-diethyl-, bis(dihydrogen phosphate)  
(adrenal cortex response to, liver and testes in relation to, cysteine antagonism to)  
RN 13425-53-1 CAPLUS  
CN Phenol, 4,4'-(1,2-diethyl-1,2-ethenediyl)bis-, bis(dihydrogen phosphate) (9CI) (CA INDEX NAME)



L31 ANSWER 15 OF 16 USPATFULL  
ACCESSION NUMBER: 2002:243842 USPATFULL  
TITLE: Inhibitors of intestinal apical membrane Na/  
**phosphate co-transportation**  
INVENTOR(S): Pearce, Brian E., Galveston, TX, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002133036	A1	20020919
APPLICATION INFO.:	US 2002-40708	A1	20020107 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-646654, filed on 20 Sep 2000, GRANTED, Pat. No. US 6355823		

	NUMBER	DATE
PRIORITY INFORMATION:	WO 2000-US1681	20000121
	US 1999-126417P	19990121 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Braman & Rogalskyj, LLP, P.O. Box 352, Canandaigua, NY,	



14424-0352  
NUMBER OF CLAIMS: 20  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 9 Drawing Page(s)  
LINE COUNT: 2304

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The compounds of formula (I) are hydrophilic aryl phosphate, thiophosphate, and aminophosphate intestinal apical membrane Na-mediated **phosphate co-transportation** inhibitors. The compounds can be administered orally, where they act to inhibit Na-dependent **phosphate uptake** in the intestines, or internally, where they interact with the phosphate control functions of the kidneys and parathyroid. They are therefore useful for inhibiting sodium-mediated **phosphate uptake**, reducing serum PTH, calcium, calcitriol, and phosphate, and treating renal disease in an animal, including a human.

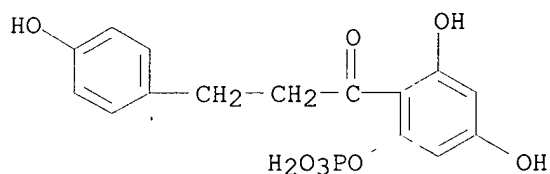
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 286382-93-2P 286382-95-4P

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate co-transport**, and therapeutic use)

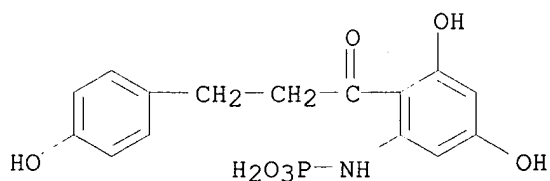
RN 286382-93-2 USPATFULL

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-(9CI) (CA INDEX NAME)



RN 286382-95-4 USPATFULL

CN Phosphoramidic acid, [3,5-dihydroxy-2-[3-(4-hydroxyphenyl)-1-oxopropyl]phenyl]- (9CI) (CA INDEX NAME)

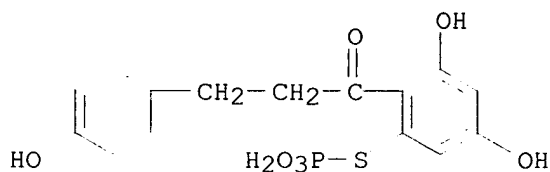


IT 286382-94-3 286382-96-5 286382-97-6

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate co-transport**, and therapeutic use)

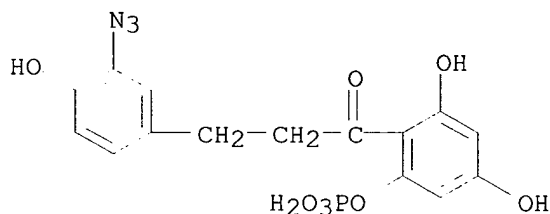
RN 286382-94-3 USPATFULL

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonothio)phenyl]-3-(4-hydroxyphenyl)-(9CI) (CA INDEX NAME)



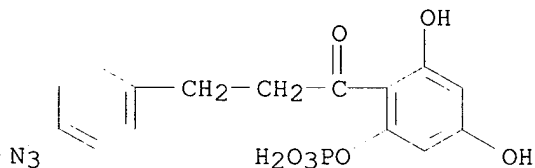
RN 286382-96-5 USPATFULL

CN 1-Propanone, 3-(3-azido-4-hydroxyphenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 286382-97-6 USPATFULL

CN 1-Propanone, 3-(4-azidophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



IT 9001-78-9, Alkaline phosphatase

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

RN 9001-78-9 USPATFULL

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

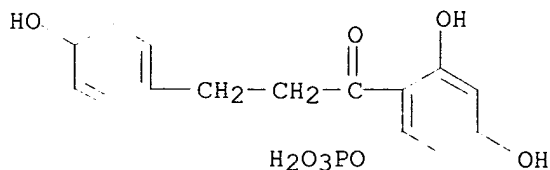
STRUCTURE DIAGRAM IS NOT AVAILABLE

IT 286383-01-5P 286383-07-1P

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

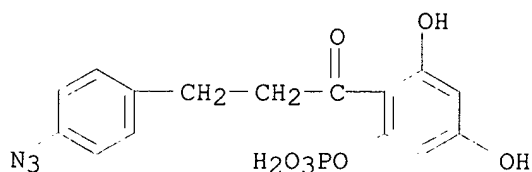
RN 286383-01-5 USPATFULL

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-, labeled with tritium (9CI) (CA INDEX NAME)



RN 286383-07-1 USPATFULL

CN 1-Propanone, 3-(4-azidophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-, labeled with tritium (9CI) (CA INDEX NAME)

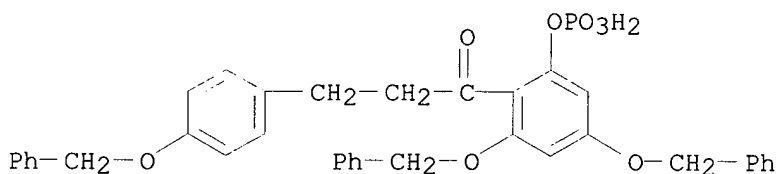


IT 286383-00-4P

(prepn. and reaction; aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate co-transport**, and therapeutic use)

RN 286383-00-4 USPATFULL

CN 1-Propanone, 1-[2,4-bis(phenylmethoxy)-6-(phosphonooxy)phenyl]-3-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

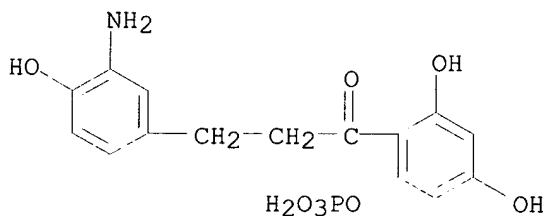


IT 286383-05-9 286383-06-0

(reaction; aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate co-transport**, and therapeutic use)

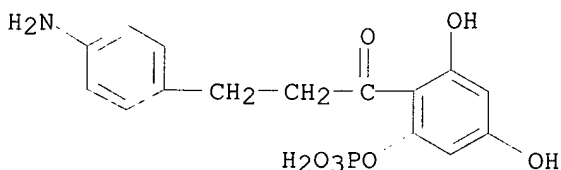
RN 286383-05-9 USPATFULL

CN 1-Propanone, 3-(3-amino-4-hydroxyphenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 286383-06-0 USPATFULL

CN 1-Propanone, 3-(4-aminophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



L31 ANSWER 16 OF 16 USPATFULL

ACCESSION NUMBER: 2002:51140 USPATFULL  
TITLE: Inhibitors of intestinal apical membrane na/phosphate  
co-transportation  
INVENTOR(S): Peerce, Brian E., Galveston, TX, United States  
PATENT ASSIGNEE(S): Board of Regents, The University of Texas System,  
Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6355823	B1	20020312
	WO 2000043402		20000727
APPLICATION INFO.:	US 2000-646654		20000920 (9)
	WO 2000-US1681		20000121
			20000920 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-126417P	19990121 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Higel, Floyd D.	
ASSISTANT EXAMINER:	Sackey, Ebenezer	
LEGAL REPRESENTATIVE:	Braman & Rogalskyj, LLP	
NUMBER OF CLAIMS:	57	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	13 Drawing Figure(s); 9 Drawing Page(s)	
LINE COUNT:	2357	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The compounds of formula (I) are hydrophilic aryl phosphate, thiophosphate, and aminophosphate intestinal apical membrane Na-mediated **phosphate co-transportation** inhibitors. The compounds can be administered orally, where they act to inhibit Na-dependent **phosphate uptake** in the intestines, or internally, where they interact with the phosphate control functions of the kidneys and parathyroid. They are therefore useful for inhibiting sodium-mediated **phosphate uptake**, reducing serum PTH, calcium, calcitriol, and phosphate, and treating renal disease in an animal, including a human.

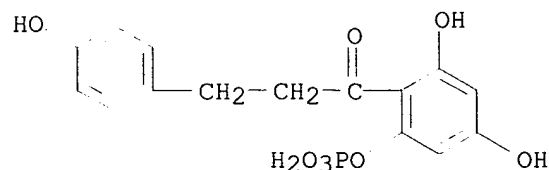
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 286382-93-2P 286382-95-4P

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate co-transport**, and therapeutic use)

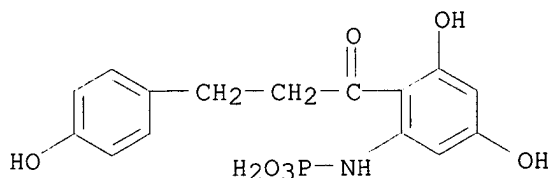
RN 286382-93-2 USPATFULL

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-(9CI) (CA INDEX NAME)



RN 286382-95-4 USPATFULL

CN Phosphoramidic acid, [3,5-dihydroxy-2-[3-(4-hydroxyphenyl)-1-oxopropyl]phenyl]- (9CI) (CA INDEX NAME)

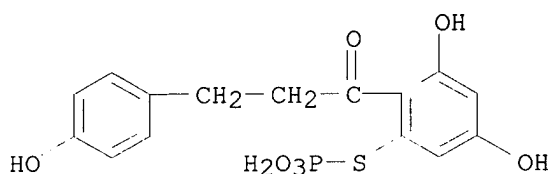


IT 286382-94-3 286382-96-5 286382-97-6

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

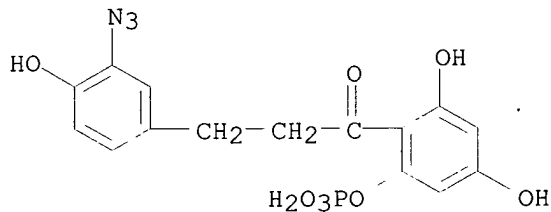
RN 286382-94-3 USPATFULL

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonothio)phenyl]-3-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



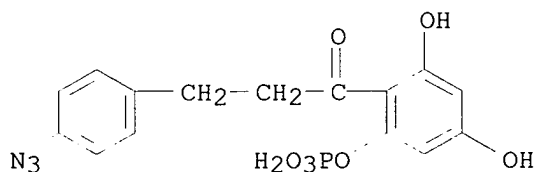
RN 286382-96-5 USPATFULL

CN 1-Propanone, 3-(3-azido-4-hydroxyphenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 286382-97-6 USPATFULL

CN 1-Propanone, 3-(4-azidophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



IT 9001-78-9, **Alkaline phosphatase**

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

RN 9001-78-9 USPATFULL

CN Phosphatase, alkaline (9CI) (CA INDEX NAME)

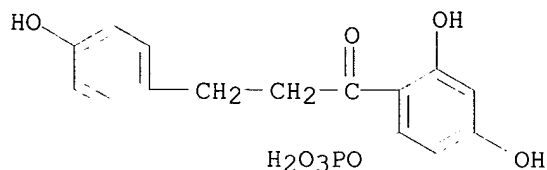
**STRUCTURE DIAGRAM IS NOT AVAILABLE**

IT 286383-01-5P 286383-07-1P

(aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

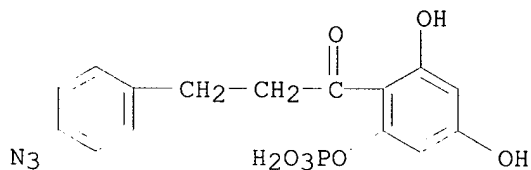
RN 286383-01-5 USPATFULL

CN 1-Propanone, 1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-3-(4-hydroxyphenyl)-, labeled with tritium (9CI) (CA INDEX NAME)



RN 286383-07-1 USPATFULL

CN 1-Propanone, 3-(4-azidophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-, labeled with tritium (9CI) (CA INDEX NAME)

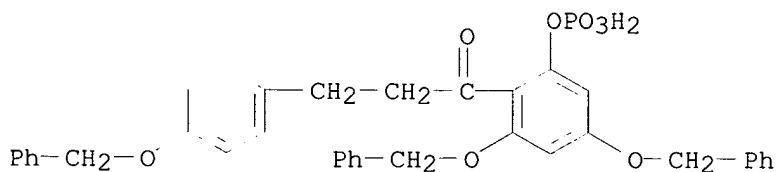


IT 286383-00-4P

(prepn. and reaction; aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

RN 286383-00-4 USPATFULL

CN 1-Propanone, 1-[2,4-bis(phenylmethoxy)-6-(phosphonooxy)phenyl]-3-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

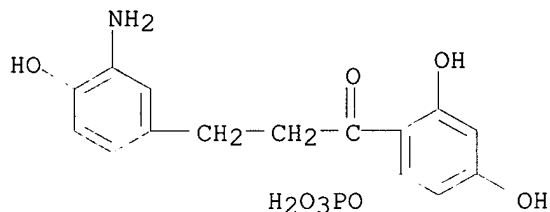


IT 286383-05-9 286383-06-0

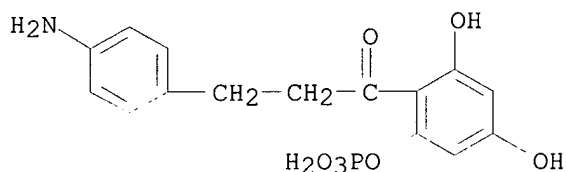
(reaction; aryl **phosphate**, thiophosphate, and aminophosphate inhibitors of intestinal apical membrane sodium/**phosphate** co-**transport**, and therapeutic use)

RN 286383-05-9 USPATFULL

CN 1-Propanone, 3-(3-amino-4-hydroxyphenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]- (9CI) (CA INDEX NAME)



RN 286383-06-0 USPATFULL  
CN 1-Propanone, 3-(4-aminophenyl)-1-[2,4-dihydroxy-6-(phosphonooxy)phenyl]-  
(9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 10:04:56 ON 13 DEC 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

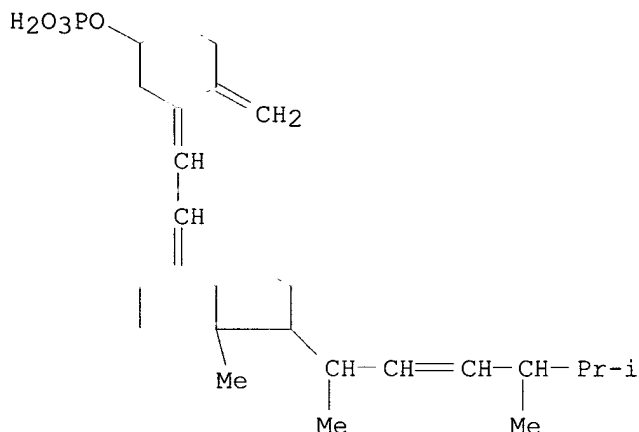
This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L1 STR  
L3 286 SEA FILE=REGISTRY SSS FUL L1  
L26 70 SEA FILE=CAOLD ABB=ON L3  
L27 27559 SEA FILE=CAOLD ABB=ON PHOSPHAT?  
L28 7 SEA FILE=CAOLD ABB=ON L26 AND L27  
L29 2230 SEA FILE=CAOLD ABB=ON ?STILBE?  
L30 3 SEA FILE=CAOLD ABB=ON L28 NOT L29

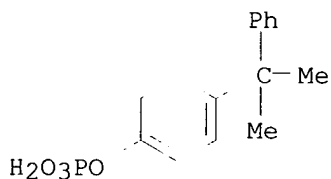
=> diall hitstr l30 1-3; fil hom

L30 ANSWER 1 OF 3 CAOLD COPYRIGHT 2002 ACS  
ACCESSION NUMBER: CA62:855g CAOLD  
TITLE: vitamin D2 **phosphate** - (I) synthesis of vitamin D2  
**phosphate** and its physicochem. properties  
AUTHOR NAME: Ishizaka, Otoharu; Endo, H.; Seki, T.; Hirayama, S.

INDEX TERM: 302-53-4 863-53-6  
IT 863-53-6  
RN 863-53-6 CAOLD  
CN 9,10-Secoergosta-5,7,10(19),22-tetraen-3-ol, dihydrogen phosphate,  
(3.beta.,5Z,7E,22E)- (9CI) (CA INDEX NAME)

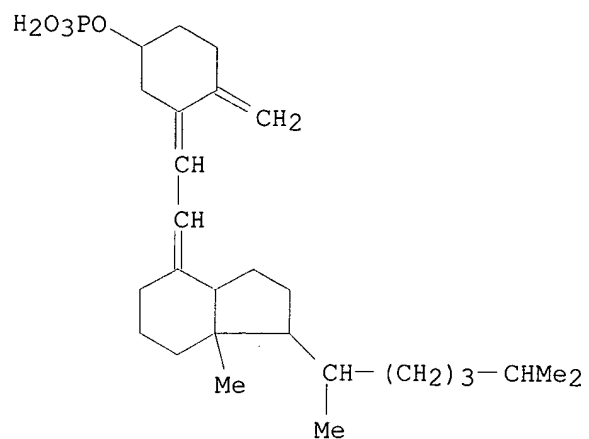


L30 ANSWER 2 OF 3 CAOLD COPYRIGHT 2002 ACS  
ACCESSION NUMBER: CA53:13100f CAOLD  
TITLE: synthesis of tris(p-dimethylphenyl-p-cresyl  
phosphate  
AUTHOR NAME: Kuznetsov, E. V.; Minimullina, L.  
INDEX TERM: 20056-51-3  
IT 20056-51-3  
RN 20056-51-3 CAOLD  
CN Phenol, 4-(1-methyl-1-phenylethyl)-, dihydrogen phosphate (9CI) (CA INDEX  
NAME)



L30 ANSWER 3 OF 3 CAOLD COPYRIGHT 2002 ACS  
ACCESSION NUMBER: CA53:2325i CAOLD  
TITLE: cholesterol phosphoric acid ester and intermediates in its  
transformation to vitamin D3 phosphoric acid ester as  
substrates of alk. **phosphatases**  
AUTHOR NAME: Werner, Egon  
INDEX TERM: 4358-16-1 84284-80-0 86349-60-2  
IT 86349-60-2  
RN 86349-60-2 CAOLD  
CN 9,10-Secocholesta-5,7,10(19)-trien-3-ol, dihydrogen phosphate,  
(3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)





FILE 'HOME' ENTERED AT 10:05:09 ON 13 DEC 2002

